#### UNITED STATES PATENT AND TRADEMARK OFFICE

Examiner: To Be Assigned (Rita Desai in parent appl. No. 10/126,506)

Art Unit: To Be Assigned (1614 in parent appl. No. 10/126,506)

Re: Application of: R. Richard GOEHRING, et al.

Serial No.: To Be Assigned

Filed: Herewith

For: SPIROPYRAZOLE COMPOUNDS

### **INFORMATION DISCLOSURE STATEMENT**

MAIL STOP:

Commissioner for Patents P.O. Box 1450 Alexandria, VA 22313-1450

June 19, 2003

Sir:

In accordance with the provisions of 37 C.F.R. § 1.97, Applicants hereby make of record the references listed on the accompanying Form PTO-1449 (3 pages) for consideration by the Examiner in connection with the examination of the above-identified patent application. These references were provided to the U. S. Patent and Trademark Office in the Information Disclosure Statement filed March 11, 2003 for the parent application, Patent Application No. 10/126,506.

Applicants respectfully direct the Examiner's attention to reference BK listed on the accompanying Form PTO-1449 which was cited in the International Search Report issued by the PCT for the corresponding International Application PCT/US02/12376. It is noted that references JP 60-120872 (reference BI) and JP 10-330377 (reference BJ) are directed to the chemical structures shown therein and are readily understandable.

This Information Disclosure Statement is being filed under 37 C.F.R. §1.97 (b), before the mailing date of a First Office Action on the merits. Accordingly, no fee is believed to be due

for submitting this Information Disclosure Statement. In the event any fee is due, the Assistant Commissioner is authorized to charge the deficiency to our Deposit Account No. 50-0552.

Applicants respectfully request that the references cited in the accompanying Form PTO-1449 be considered and made of record. If any of the listed references are found to be missing, the Examiner is requested to contact the undersigned so that a copy may be promptly forwarded.

Respectfully submitted,

DAVIDSON, DAVIDSON & KAPPEL, LLC

Bv:

obert J. Paradiso

Reg. No. 41,24

Davidson, Davidson & Kappel, LLC 485 Seventh Avenue, 14th Floor New York, New York 10018 (212) 736-2427

FORM PTO-1449 U.S. DEPARTMENT OF COMMERCE ATTY, DOCKET NO .: SERIAL NO.: 10/126,506 (REV. 7-80) PATENT AND TRADEMARK OFFICE 332.1132 LIST OF PRIOR ART CITED BY APPLICANT APPLICANT(S): R. Richard GOEHRING, et al. (Use several sheets if necessary) FILING DATE: April 18, 2002 GROUP: 1614 U.S. PATENT DOCUMENTS \*EXAMINER DOCUMENT NUMBER DATE NAME CLASS **SUBCLASS** FILING DATE INITIAL IF APPROPRIATE AA 12/15/64 Paul Adriaan, et al. 260 293.4 3 3 9 AB 8 0 0 05/09/67 Paul Adriaan, et al. 260 294 AC 3 3 2 5 4 9 9 06/13/67 260 294 Poos, et al. 2 5 07/29/80 AD 248.5 Mentrup, et al. 424 ΑE 4 3 2 9 3 3 05/11/82 Stokbroekx, et al. 424 267 ΑF 4 4 0 5 2 10/18/83 Teranishi, et al. 424 251 5 5 7 4 0 AG 4 4 11/12/96 Thompson, et al. 514 316 5 9 ΑH 6 6 1 6 08/26/97 Di Malta, et al. 514 387 7 ΑI 5 0 0 5 06/02/98 Huff, et al. 6 4 514 302 ΑJ 5 7 6. 7 8 06/16/98 Nargund, et al. 514 226.4 7 6 0 9 ΑK 6 3 6 05/16/00 Yang, et al. 514 322 6 0 3 7 ΑL 12/26/00 Budhu, et al. 514 326 FOREIGN PATENT DOCUMENTS DOCUMENT NUMBER CLASS SUBCLASS DATE **COUNTRY** TRANSLATION YES NO 9 AM 0 3 03/20/97 WO (A1) C07D 211/44 Abstract 9 7 0 ΑN 4 n 3 5 10/30/97 WO (A1) C07D 401/04 9 8 ΑO 8 12/03/98 WO (A1) C07D 401/04 6 Abstract ΑP 9 9 2 9 6 9 6 06/17/99 WO (A1) C07D 491/107 9 9 ΑQ 3 6 4 2 07/22/99 WO (A1) C07D 401/04 AR 9 9 9 4 2 09/30/99 WO (A1) A61K 31/165 Abstract OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.) AS Custers, F.G.J., et al., "Vesamicol and some of its derivatives: Questionable ligands for selectively labelling acetylcholine transporters in rat brain", European Journal of Pharmacology, 338: 117-183, 1997. **EXAMINER** DATE CONSIDERED \*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449 ATTY. DOCKET NO.: SERIAL NO.: 10/126,506 U.S. DEPARTMENT OF COMMERCE (REV. 7-80) PATENT AND TRADEMARK OFFICE 332.1132 APPLICANT(S): R. Richard GOEHRING, et al. LIST OF PRIOR ART CITED BY APPLICANT (Use several sheets if necessary) GROUP: 1614 FILING DATE: April 18, 2002 U.S. PATENT DOCUMENTS CLASS **SUBCLASS** \*EXAMINER DOCUMENT NUMBER DATE NAME FILING DATE IF APPROPRIATE INITIAL 01/09/01 BA Ito, et al. 514 252.13 FOREIGN PATENT DOCUMENTS DOCUMENT NUMBER DATE COUNTRY CLASS **SUBCLASS** TRANSLATION YES NO BB 9 9 9 9 9 11/25/99 WO (A1) C07D 471/10 5 5 0 0 4 02/10/00 WO (A1) C07D BC 0 6 211/52 BD 0 1 0 0 0 02/01/01 WO (A1) A61K 31/445 4 2 C07D 265/18 BE 0 1 4 1 3 06/21/01 WO (A1) 2 BF 0 0 0 03/14/02 WO (A2) A61K 31/347 9 C07D 401/06 BG 0 0 9 10/26/83 EP (A2) вн 0 9 2 1 1 2 5 06/09/99 EP (A1) C07D 471/10 0 2 06/28/85 C07D 237/34 ВΙ 60 2 8 Japan 1 BJ 10 3 0 12/15/98 C07D 401/14 Japan OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.) BK Harrison T, et al., "High Affinity, Selective Neurokinin 2 and Neurokinin 3 Receptor Antagonists from a Common Structural Template," Bioorganic & Medicinal Chemistry Letters., Vol. 8, pp 1343-1348, especially compounds 2d and 3d on page 1345. (1998). BL. Takai H, et al., Synthesis and Pharmacological Evaluation of Peperidine Derivatives with Various Heterocyclic Rings at the 4-Position, Chemical Pharmaceutical Bulletin, March 1985, Vol. 33, No. 3, pages 1105-1115, especially pages 1105 and 1106 ВМ Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 129:54361, Huff, et al., 'Preparation of benzisothiazolones and analogs as alpha. 1C. adrenergic receptor antagonist', 1998, 392146 CAPLUS (Abstract). Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130:95479, Sasaki, et al., 'Preparation of piperidine BN derivatives as cell adhesion inhibitors for inflammation inhibitors, metastasis inhibitors, etc.', 1998, 795478 CAPLUS (Abstract). Database on STN CADATA (Columbus, Ohio, USA) CA accession: no. 124:176079, Huff, et al., 'Preparation of heterocycles as alpha-BO 1c adrenergic receptor antagonists', 1995, 998362 CAPLUS (Abstract). BP Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 81:77786, Klein, et al., 'Potential analgesics. 3. 1-(4-Piperidinyl) -2-indolinones and -3, 4-dihydrocarbostyrils', Arch. Pharm. Vol. 307 (5), pp 360-365. (1974), 1974, 47786 CAPLUS (Abstract). BQ Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 136:53656, Forbes I.T., 'A short and efficient synthesis of N-substituted indol-2-ones (oxindoles)', Tetrahedron Let., (2001), vol 42 (39), pp. 6943 - 6945, 2001:674545 CAPLUS (Abstract) **EXAMINER** DATE CONSIDERED

<sup>\*</sup>EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-144 (REV. 7-80)	U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE								ATTY. DOCKET NO.: 332.1132		SERIAL NO.: 10/126,506			
LIST OF PRIOR ART CITED BY APPLICANT								APPLICANT(S): R. Richard GOEHRING, et al.						
(Use several sheets if necessary)								FILING DATE:	April 18, 2002	GROUP: 1614				
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	Cl		Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:195564, Tsushima, et al., 'Preparation of phenoxalkylamine derivatives useful as opioid delta receptor agonists', WO 2001/60796 (2001), 2001, 617978 CAPLUS (Abstract).											
	Cì		Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:272955, Tsushima, et al., 'Preparation of diphenylalkylpiperidine derivatives useful as opioid delta receptor agoinsts', 2001:713309 CAPLUS (Abstract).											
	СК	Data	Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130:223167, Budhu, et al., 'Preparation of piperidinylpyrrolidins as modulators of chemokine receptor activity', 1999:172595 CAPLUS (Abstract).											
	CL	Data anti:	Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:203828, Bianchi, et al., 'Compounds with antiulcer and antisecretory activity. I. 3-Aryl-benzimidazolin-2-ones and -thiones', Eur. J. Med. Chem, 16(4), pp. 321-326 (1981), 1981:203828											
	СМ	Data VII.	CAPLUS (Abstract).  Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 73:445405, Ogata, et al., 'Organic photochemical reactions. VII. Photolysis of 1-benzyl-2-ethylbenzimidazole 3-oxide', Chem. Pharm Bull, 18(5), pp. 964-969. (1970), 1970:445405 CAPLUS (Abstract).											
	CN	2, 3	Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:177993, Guiliano, et al., 'Spectroscopic studies of 2-oxo-2, 3-dihydrobenzimidazole and some N-monosubstituted or N,N' -disubstituted derivatives', <u>App. Spectrosc.</u> , (1981), 35(5), pp. 486-488, 1981:577993 CAPLUS (Abstract).											
	со		Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 134:353434, Nakamura, et al., 'Synthesis of a regio-isomer of kealiiquinone, a marine benzimidazole alkaloid', J. Chem. Soc. Japan. (2001), (4), pp. 429-436, 2001:112633 CAPLUS (Abstract).											
	СР									ssion: no. 125:5829 6/05166), 1996: 39			etererocycle-o	containing
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## PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 332.1132PCT		Transmittal of International Search Report 20) as well as, where applicable, item 5 below.						
International application No.	International filing date (day/month/year)	(Earliest) Priority Date (day/month/year)						
PCT/US02/12376	18 APRIL 2002	18 APRIL 2001						
Applicant EURO-CELTIQUE, S.A.								
This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.								
This international search report consis	ts of a total of sheets.							
X It is also accompanied by a copy of each prior art document cited in this report.								
<ul> <li>a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.</li> <li>the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).</li> <li>b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:  contained in the international application in written form.</li> <li>filed together with the international application in computer readable form.</li> <li>furnished subsequently to this Authority in written form.</li> <li>the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the</li> <li>the statement that the information recorded in computer readable form is identical to the written sequence listing has b een</li> </ul>								
furnished.  2. Certain claims were found unsearchable (See Box I).								
3. Unity of invention is lacking (See Box II).								
4. With regard to the title,								
X the text is approved as sub	mitted by the applicant.							
the text has been establish	ed by this Authority to read as follows:							
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5. With regard to the abstract,  X the text is approved as sub-	mitted by the applicant							
the text has been established	ed, according to Rule 38.2(b), by this Authorit within one month from the date of mailing of							
6. The figure of the drawings to be published with the abstract is Figure No.								
as suggested by the applic	ant.	None of the figures.						
because the applicant failed	to suggest a figure.	L None of the figures.						
	characterizes the invention.	·						

## INTERNATIONAL SEARCH REPORT

International application No. PCT/US02/12376

A. CLA	SSIFICATION OF SUBJECT MATTER							
IPC(7) :A61K 31/438; C07D 471/10								
US CL :514/278; 546/20 According to International Patent Classification (IPC) or to both national classification and IPC								
B. FIELDS SEARCHED  Minimum documentation searched (classification system followed by classification symbols)								
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C. DOC	CUMENTS CONSIDERED TO BE RELEVANT							
Category*	Citation of document, with indication, where ap	propriate, of the relevant passages	Relevant to claim No.					
A	HARRISON, T. et al. High Affinity,	Selective Neurokinin 2 and	1-31					
11	Neurokinin 3 Receptor Antagonists		1-51					
٠.	template. Bioorg. & Medicin. Chem.							
	1343-1348, especially compounds 2d a							
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Further documents are listed in the continuation of Box C. See patent family annex.								
• Sı	pecial categories of cited documents:	"T" later document published after the inte						
"A" do	date and not in conflict with the application but cited to understand							
"B" earlier document published on or after the international filing date  "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step								
"L" document which may throw doubts on priority claim(s) or which is when the document is taken alone								
	ted to establish the publication date of another citation or other social reason (as specified)	"Y" document of particular relevance; the						
"O" document referring to an oral disclosure, use, exhibition or other with one or more other such documents, such combination obvious to a person skilled in the art								
"P" document published prior to the international filing date but later "A" document member of the same patent family than the priority date claimed								
Date of the actual completion of the international search  Date of mailing of the international search report								
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